5/1/2007 full scope clear of art.

10/506,907 Yong Chu 5-1-2007

To do:

① cancel claims 1, 2, and .

② remove non-elected subject matters in claim 12 and 13

③ traverse 112(ii), reinstate the definitions.

$%^STN;HighlightOn=;HighlightOff=;

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptaylc1626

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS	3	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS	4	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS	5	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS	6	JAN 22	CA/CAplus updated with revised CAS roles
NEWS	7	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS	8	JAN 29	PHAR reloaded with new search and display fields
NEWS	9	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS	10	FEB 15	PATDPASPC enhanced with Drug Approval numbers
NEWS	11	FEB 15	RUSSIAPAT enhanced with pre-1994 records
NEWS	12	FEB 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS	13	FEB 26	MEDLINE reloaded with enhancements
NEWS	14	FEB 26	EMBASE enhanced with Clinical Trial Number field
NEWS	15	FEB 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS	16	FEB 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS	17	FEB 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS	18	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS	19	MAR 16	CASREACT coverage extended
NEWS	20	MAR 20	MARPAT now updated daily
NEWS	21	MAR 22	LWPI reloaded
NEWS	22	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS	23	APR 02	JICST-EPLUS removed from database clusters and STN
NEWS	24	APR 30	GENBANK reloaded and enhanced with Genome Project ID field
NEWS	25	APR 30	CHEMCATS enhanced with 1.2 million new records
NEWS	26	APR 30	CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS	27	APR 30	INPADOC replaced by INPADOCDB on STN
NEWS	28	MAY 01	New CAS web site launched

NEWS EXPRESS	NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
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NEWS IPC8	For general information regarding STN implementation of IPC 8

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FILE 'HOME' ENTERED AT 14:49:31 ON 01 MAY 2007

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 30 APR 2007 HIGHEST RN 933825-30-0
DICTIONARY FILE UPDATES: 30 APR 2007 HIGHEST RN 933825-30-0

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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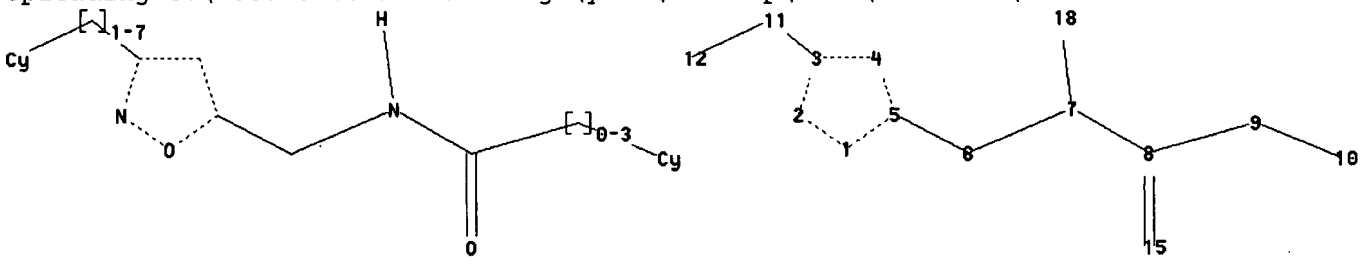
=>
Uploading C:\Documents and Settings\ychu\Desktop\Case\10506907\10506907Y.str



chain nodes :
6 7 8 9 10 11 12 15 18
ring nodes :
1 2 3 4 5

chain bonds :
3-11 5-6 6-7 7-8 7-18 8-9 8-15 9-10 11-12
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 6-7 7-8 8-15 9-10 11-12
exact bonds :
3-11 5-6 7-18 8-9

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:Atom
11:CLASS 12:Atom 15:CLASS 18:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
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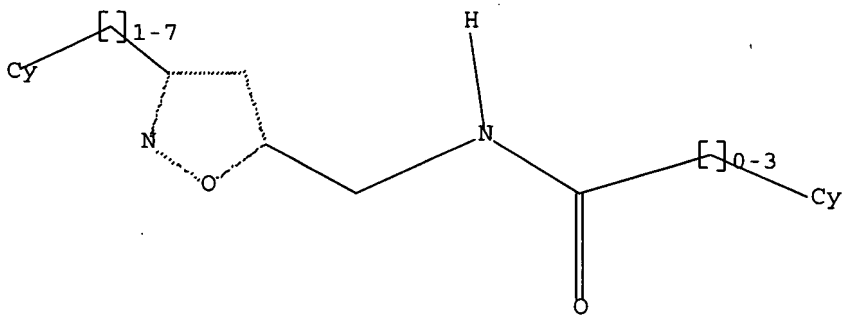

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 14:50:05 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 211 TO ITERATE

100.0% PROCESSED 211 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3349 TO 5091
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 14:50:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4236 TO ITERATE

100.0% PROCESSED 4236 ITERATIONS 29 ANSWERS

SEARCH TIME: 00.00.01

L3 29 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 172.55 172.76

FILE 'CAPLUS' ENTERED AT 14:50:42 ON 01 MAY 2007
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FILE COVERS 1907 - 1 May 2007 VOL 146 ISS 19
FILE LAST UPDATED: 30 Apr 2007 (20070430/ED)

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http://www.cas.org/infopolicy.html

=> s l3
L4 3 L3

=> s ibib abs hitstr tot
16 IBIB
234446 ABS
0 HITSTR
2020 TOT
16 TOTS
2030 TOT
(TOT OR TOTS)
L5 0 IBIB ABS HITSTR TOT
(IBIB(W)ABS(W)HITSTR(W)TOT)

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L5 HAS NO ANSWERS
L5 0 SEA FILE=CAPLUS ABB=ON PLU=ON IBIB ABS HITSTR TOT

=> d l4 ibib abs hitstr tot

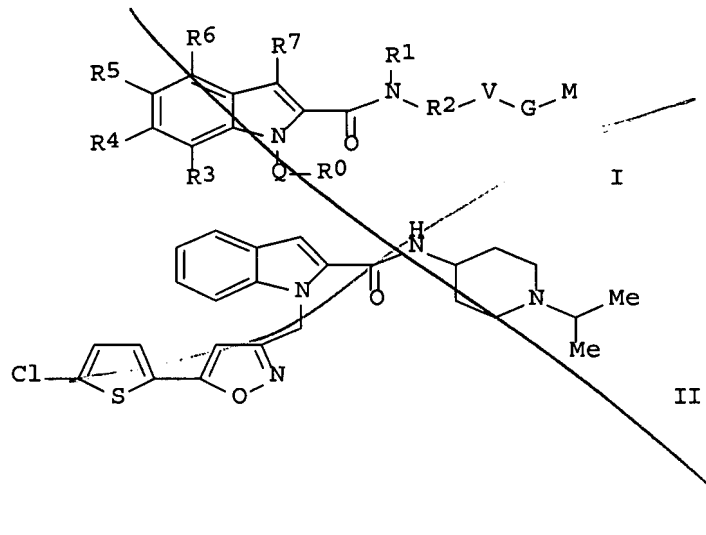
L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:355668 CAPLUS Full-text
DOCUMENT NUMBER: 140:357208
TITLE: Preparation of indole-2-carboxamides as factor Xa inhibitors
INVENTOR(S): Nazare, Marc; Essrich, Melanie; Will, David William;

	Mattter, Hans; Ritter, Kurt; Wehner, Wolkmar
PATENT ASSIGNEE(S):	Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE:	PCT Int. Appl., 230 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003044014	A1	20030530	WO 2002-EP12500	20021108
WO 2003044014	A8	20040722		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1314733	A1	20030528	EP 2001-127809	20011122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2467374	A1	20030530	CA 2002-2467374	20021108
AU 2002351918	A1	20030610	AU 2002-351918	20021108
EP 1451185	A1	20040901	EP 2002-787604	20021108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014396	A	20040914	BR 2002-14396	20021108
HU 200402063	A2	20050228	HU 2004-2063	20021108
CN 1589270	A	20050302	CN 2002-823248	20021108
JP 2005514365	T	20050519	JP 2003-545651	20021108
NZ 533044	A	20051125	NZ 2002-533044	20021108
IN 2004CN01102	A	20060203	IN 2004-CN1102	20040518
NO 2004002592	A	20040621	NO 2004-2592	20040621
PRIORITY APPLN. INFO.:			EP 2001-127809 A	20011122
			WO 2002-EP12500 W	20021108

OTHER SOURCE(S): MARPAT 140:357208

GI

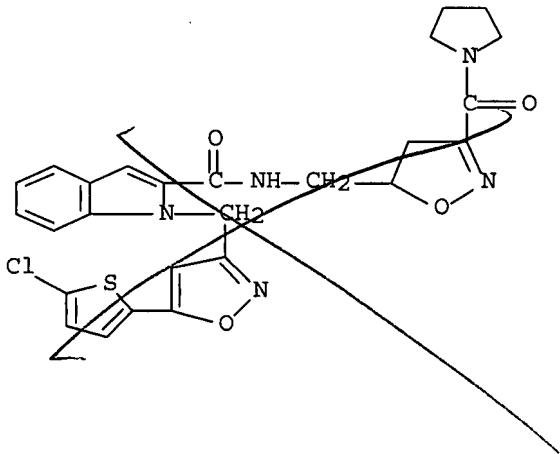


AB The title compds. I [wherein R0 = (un)substituted monocyclic or bicyclic (hetero)aryl; Q = a bond, CO, SO2, or (un)substituted (CH2)0-2CONH, NHCONH, NHCO, or (cyclo)alkylene; R1 = H or (un)substituted alkyl; R2 = a bond or alkylene; or NR1R2V = (un)substituted heterocyclyl; R3-R7 = independently H, halo, NO2, CN, OH, or (un)substituted alkyl, alkoxy, Ph, PhO, carbamoyl, sulfamoyl, acyl, etc.; or R1 and R7 together with the atoms to which they are attached = (un)substituted mono-, di-, or trisubstituted heterocyclyl; V = (un)substituted (hetero)cyclyl or (hetero)aryl; G = a bond or alkylene optionally interrupted by (un)substituted NHSO2NH, CHOH, O, CONH, SO2, NHCONH, NHCO, CO, S, SO2NH, NHSO2, NH, OCO, or NHCO2; M = H or (un)substituted (amino)alkyl, carbamoyl, (hetero)aryl, or (hetero)cycloalkyl; and stereoisomers, mixts., and physiol. tolerable salts thereof] where prepd. as reversible inhibitors of the blood clotting enzymes factor Xa (FXa) and/or factor VIIa (FVIIa) with strong antithrombotic effect. For example, 1-[[5-(5-chlorothiophen-2-yl)isoxazol-3-yl]methyl]-1H-indole-2-carboxylic acid was amidated with 1-isopropylpiperidin-4-ylamine.bul.HCl (prepns. given) in the presence of BOP-Cl, Et3N, and DCM and the product purified by preparative HPLC using a H2O/MeCN gradient with 0.1% TFA to afford II.bul.TFA. In a chromogenic assay, the latter exhibited a Ki value of 0.0033 .mu.M against human factor Xa. Thus, I and their pharmaceutical compns. are useful for the therapy and prophylaxis of cardiovascular disorders, such as thromboembolic diseases or restenoses (no data).

IT 681288-02-8P, 1-[[5-(5-Chlorothien-2-yl)isoxazol-3-yl]methyl]-1H-indole-2-carboxylic acid N-[[3-[(pyrrolidin-1-yl)carbonyl]-4,5-dihydroisoxazol-5-yl]methyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(factor Xa inhibitor; prepn. of indolecarboxamides as factor Xa inhibitors for treatment of thrombotic and cardiovascular disorders)

RN 681288-02-8 CAPLUS

CN 1H-Indole-2-carboxamide, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-N-[[4,5-dihydro-3-(1-pyrrolidinylcarbonyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:719462 CAPLUS Full-text

DOCUMENT NUMBER: 139:246014
TITLE: Preparation of substituted isoxazolylalkylamine derivatives as agricultural and horticultural fungicides
INVENTOR(S): Shimozono, Noriko; Wada, Hiroshi
PATENT ASSIGNEE(S): SDS Biotech K.K., Japan
SOURCE: PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074501	A1	20030912	WO 2003-JP2632	20030306
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003221322	A1	20030916	AU 2003-221322	20030306
EP 1491535	A1	20041229	EP 2003-710257	20030306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005171358	A1	20050804	US 2003-506907	20030306

PRIORITY APPLN. INFO.: JP 2002-61835 A 20020307
WO 2003-JP2632 W 20030306

OTHER SOURCE(S): MARPAT 139:246014
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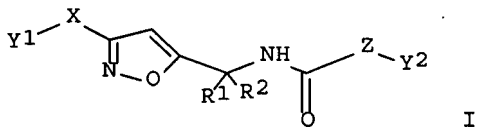
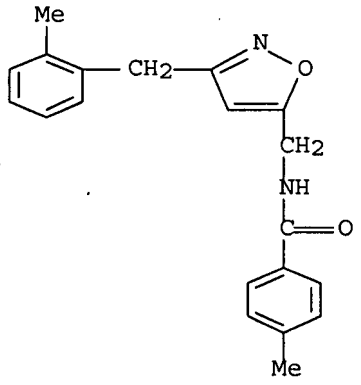


I

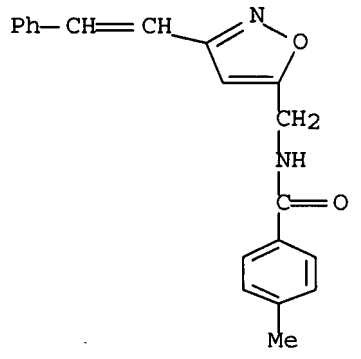
AB Title compds. I [R1 and R2 represents, for example, hydrogen or a substituted or unsubstituted alkyl; X represents, for example, a single bond or an alkylene; Y1 represents, for example, a substituted or unsubstituted lower alkyl, a substituted or unsubstituted cycloalkyl, a substituted or unsubstituted Ph or a substituted or unsubstituted heteroaryl; Y2 represents, for example, a substituted or unsubstituted cycloalkyl, a substituted or unsubstituted Ph or a substituted or unsubstituted heteroaryl; and Z represents, for example, a substituted or unsubstituted alkylene, -O-(substituted or unsubstituted alkylene)- or -NR-(substituted or unsubstituted alkylene)-], useful as fungicides, are prepd. For example, reaction of 5-aminomethyl-3-(2-chlorophenyl)isoxazole with Ph chloroformate in CH2Cl2 in the presence of diisopropylethylamine at room temp. for 5 h gave Ph {[3-(2-chlorophenyl)-5- isoxazolyl]methyl}carbamate (II). II showed fungicidal activity against Pyricularia oryzae at 200 ppm.

IT 596124-37-7P 596125-28-9P 596125-30-3P
596125-31-4P 596125-32-5P 596125-33-6P
596125-35-8P 596125-36-9P 596125-37-0P
596125-38-1P 596125-39-2P 596125-40-5P
596125-41-6P 596125-42-7P 596125-43-8P
596125-44-9P 596125-45-0P 596126-84-0P
596126-85-1P 596126-86-2P 596126-87-3P
596126-88-4P 596126-96-4P 596126-99-7P
596127-08-1P 596127-21-8P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of isoxazolylalkylamines as fungicides)

RN 596124-37-7 CAPLUS
CN Benzamide, 4-methyl-N-[[3-[(2-methylphenyl)methyl]-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



RN 596125-28-9 CAPLUS
CN Benzamide, 4-methyl-N-[[3-(2-phenylethenyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



RN 596125-30-3 CAPLUS
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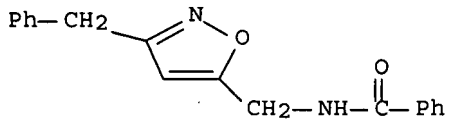
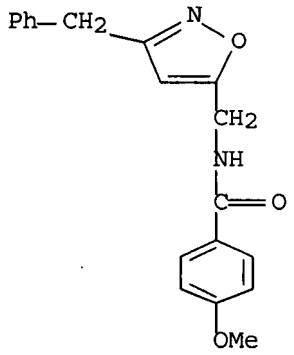
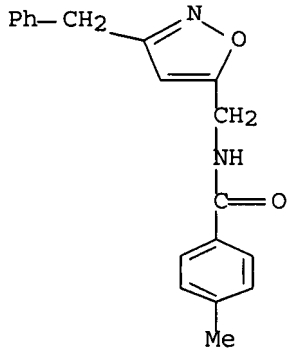


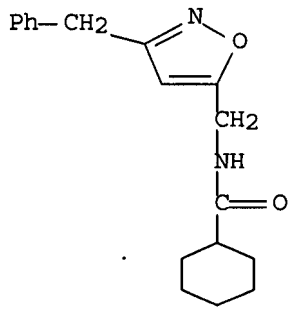
RN 596125-31-4 CAPLUS
CN Benzamide, 4-methoxy-N-[[3-(phenylmethyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



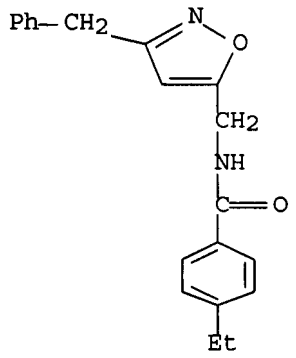
RN 596125-32-5 CAPLUS
CN Benzamide, 4-methyl-N-[[3-(phenylmethyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



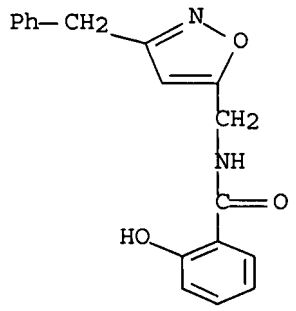
RN 596125-33-6 CAPLUS
CN Cyclohexanecarboxamide, N-[[3-(phenylmethyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



RN 596125-35-8 CAPLUS
CN Benzamide, 4-ethyl-N-[[3-(phenylmethyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



RN 596125-36-9 CAPLUS
CN Benzamide, 2-hydroxy-N-[[3-(phenylmethyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



RN 596125-37-0 CAPLUS
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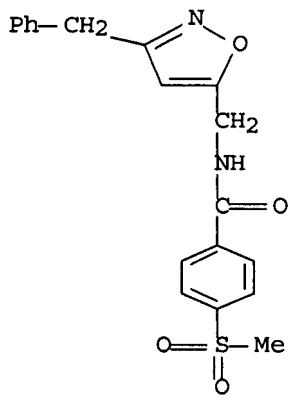

RN 596125-38-1 CAPLUS
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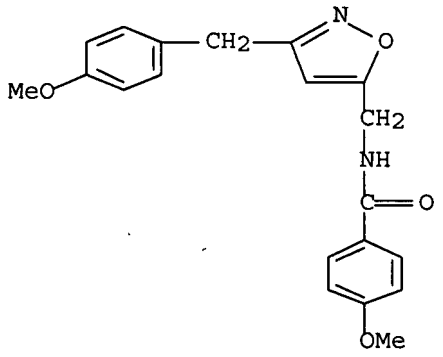
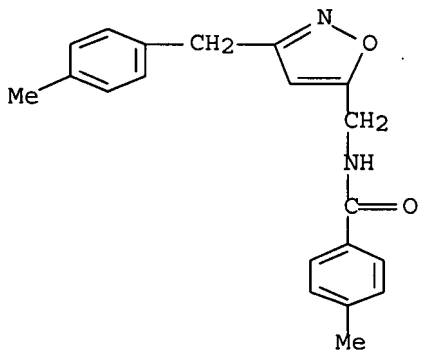
(9CI) (CA INDEX NAME)

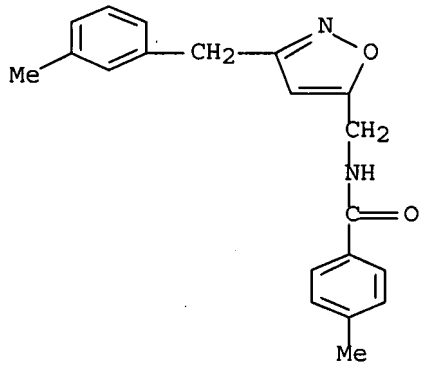


RN 596125-39-2 CAPLUS
CN Benzamide, 4-methyl-N-[[3-[(4-methylphenyl)methyl]-5-isoxazolyl]methyl]-
(9CI) (CA INDEX NAME)

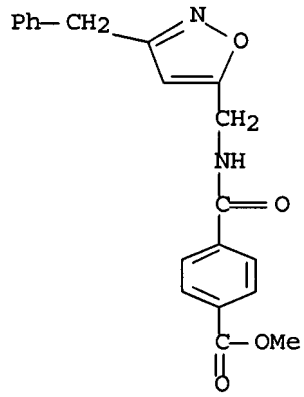


RN 596125-40-5 CAPLUS

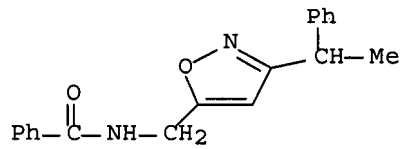
CN Benzamide, 4-methyl-N-[[3-[(3-methylphenyl)methyl]-5-isoxazolyl]methyl]-
(9CI) (CA INDEX NAME)



RN 596125-41-6 CAPLUS
CN Benzoic acid, 4-[[[[3-(phenylmethyl)-5-isoxazolyl]methyl]amino]carbonyl]-,
methyl ester (9CI) (CA INDEX NAME)

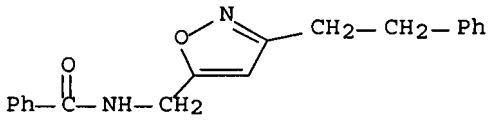


RN 596125-42-7 CAPLUS
CN Benzamide, N-[[3-(1-phenylethyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX
NAME)

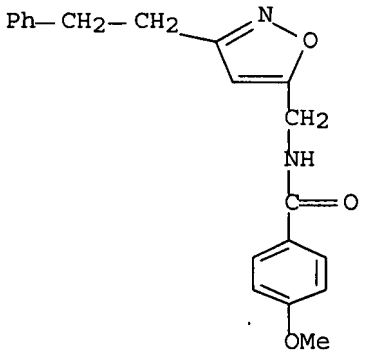


RN 596125-43-8 CAPLUS
CN Benzamide, N-[[3-(2-phenylethyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX

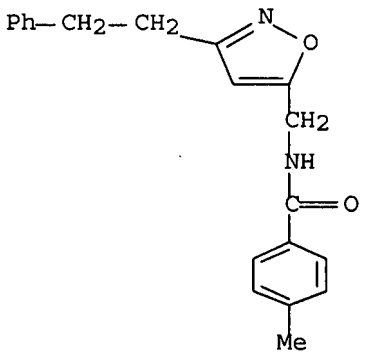
NAME)



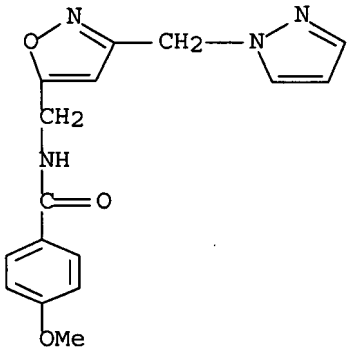
RN 596125-44-9 CAPLUS
CN Benzamide, 4-methoxy-N-[[3-(2-phenylethyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



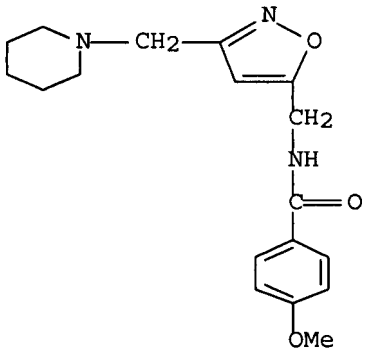
RN 596125-45-0 CAPLUS
CN Benzamide, 4-methyl-N-[[3-(2-phenylethyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



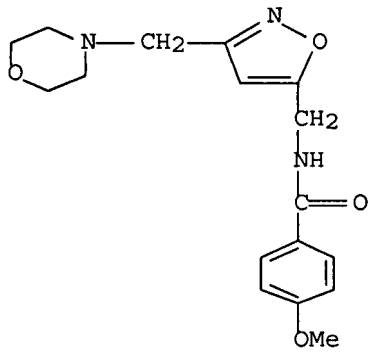
RN 596126-84-0 CAPLUS
CN Benzamide, 4-methoxy-N-[[3-(1H-pyrazol-1-ylmethyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



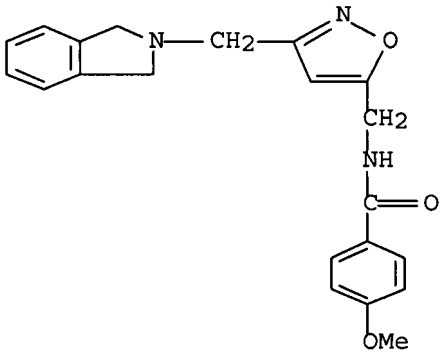
RN 596126-85-1 CAPLUS
CN Benzamide, 4-methoxy-N-[[3-(1-piperidinylmethyl)-5-isoxazolyl]methyl]-
(9CI) (CA INDEX NAME)



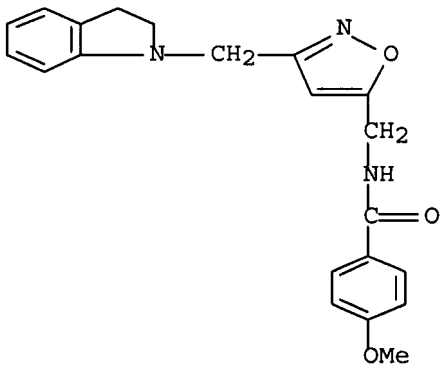
RN 596126-86-2 CAPLUS
CN Benzamide, 4-methoxy-N-[[3-(4-morpholinylmethyl)-5-isoxazolyl]methyl]-
(9CI) (CA INDEX NAME)



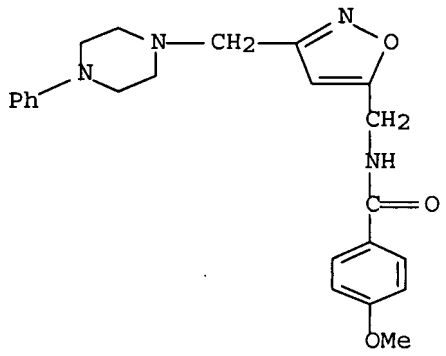
RN 596126-87-3 CAPLUS
CN Benzamide, N-[[3-[(1,3-dihydro-2H-isoindol-2-yl)methyl]-5-
isoxazolyl]methyl]-4-methoxy- (9CI) (CA INDEX NAME)



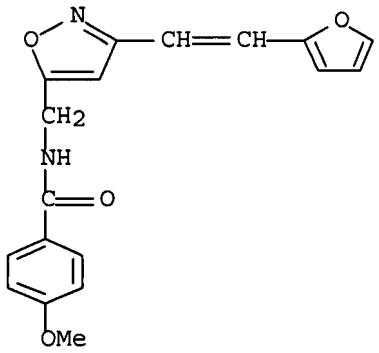
RN 596126-88-4 CAPLUS
CN Benzamide, N-[[3-[(2,3-dihydro-1H-indol-1-yl)methyl]-5-isoxazolyl]methyl]-4-methoxy- (9CI) (CA INDEX NAME)



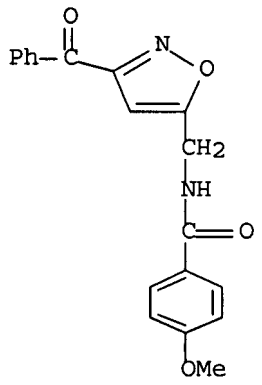
RN 596126-96-4 CAPLUS
CN Benzamide, 4-methoxy-N-[[3-[(4-phenyl-1-piperazinyl)methyl]-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



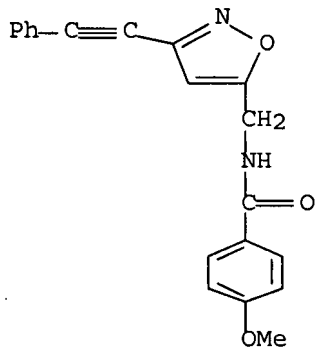
RN 596126-99-7 CAPLUS
CN Benzamide, N-[[3-[2-(2-furanyl)ethenyl]-5-isoxazolyl]methyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 596127-08-1 CAPLUS
CN Benzamide, N-[(3-benzoyl-5-isoxazolyl)methyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 596127-21-8 CAPLUS
CN Benzamide, 4-methoxy-N-[[3-(phenylethynyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:994518 CAPLUS Full-text
DOCUMENT NUMBER: 124:117296
TITLE: Preparation of 2-isoxazoline derivatives
INVENTOR(S): Murai, Yoshiyuki; Nishikawa, Masahiro; Ueda, Yoichiro; Onomura, Osamu; Takase, Ichiro
PATENT ASSIGNEE(S): Daicel Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

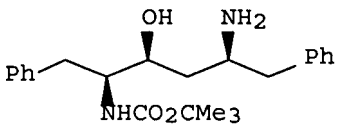
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9523793	A1	19950908	WO 1995-JP331	19950302
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 748801	A1	19961218	EP 1995-910724	19950302
EP 748801	B1	20011219		
R: DE, FR, GB				
US 5750717	A	19980512	US 1996-702582	19960903
US 5962692	A	19991005	US 1997-976482	19971124
US 5994558	A	19991130	US 1997-976642	19971124
US 6018069	A	20000125	US 1999-320841	19990526

PRIORITY APPLN. INFO.:
JP 1994-56639 A 19940302
JP 1994-183973 A 19940713
WO 1995-JP331 W 19950302
US 1997-976642 A3 19971124

OTHER SOURCE(S): CASREACT 124:117296; MARPAT 124:117296
GI

I

II



III

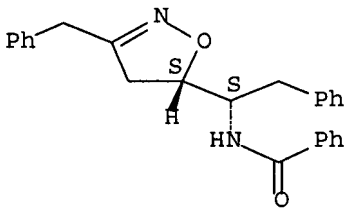
AB The title 2-isoxazoline derivs. represented by general formula [I; R1, R2 = H, acyl, alkyloxycarbonyl, arylalkyloxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylalkylaminocarbonyl, arylaminocarbonyl, alkyl,

arylalkyl, aryl, alkylsulfonyl, arylalkylsulfonyl, arylsulfonyl; or R1 and R2 are combined together to represent divalent acyl] are prepd. by cycloaddn. of phenylacetonitrile oxide with 3-amino-4-phenyl-1-butene PhCH2CH(NR1R2)CH:CH2. These 2-isoxazoline derivs. are reduced to give 2,5-diamino-1,6-diphenyl-3-hydroxyhexane derivs. represented by general formula PhCH2CH(NR1R2)CH(OH)CH2CH(NH2)CH2Ph, which serve as intermediates for prepg. medicines such as retrovirus protease inhibitors including human immunodeficiency virus (HIV) protease inhibitors. Thus, PhCH2CH:NOH was chlorinated by N-chlorosuccinimide in DMF at 15-17.degree. for 3 h to give PhCH2CCl:NOH which was cyclocondensed with (S)-3-tert- butoxycarbonylamino-4-phenyl-1-butene in the presence of Et3N in toluene at room temp. overnight to give a 7:3 mixt. of (5S,1'S)- and (5R,1'S)-3-phenylmethyl-5-(1'-tert-butoxycarbonylamino-2'-phenylethyl)-2- isoxazoline. The latter mixt. was refluxed with MeOH contg. p-TsOH.H2O 1.5 h to give a soln. contg. (5S,1'S)- and (5R,1'S)-3-phenylmethyl-5-(1'- amino-2'-phenylethyl)-2-isoxazoline p-toluenesulfonate, to which was added EtOAc and cooled and the pptd. crystals were filtered off and recrystd. twice from EtOH/EtOAc (1/2) to give 52.5% the optically active title oxazoline (5S,1'S)-3-phenylmethyl-5-(1'-amino-2'-phenylethyl)-2- isoxazoline (II) p-toluenesulfonate. (5S,1'S)-3-phenylmethyl-5-(1'-tert- butoxycarbonylamino-2'-phenylethyl)-2-isoxazoline was dissolved in MeOH and hydrogenated in the presence of 3%Pt-C at normal H pressure to give 36% (2S,3S,5R)-2-tert-butoxycarbonylamino-3-hydroxy-5-amino-1,6-diphenylhexane (III) and 7% (2S,3S,5R)-stereoisomer.

IT 172526-47-5P 172720-12-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of phenylmethyl(aminophenylethyl)isoxazolines by stereoselective cycloaddn. of phenylacetonitrile oxide to aminophenylbutene)

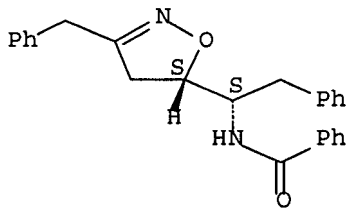
RN 172526-47-5 CAPLUS
CN Benzamide, N-[1-[4,5-dihydro-3-(phenylmethyl)-5-isoxazolyl]-2-phenylethyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 172720-12-6 CAPLUS
CN Benzamide, N-[1-[4,5-dihydro-3-(phenylmethyl)-5-isoxazolyl]-2-phenylethyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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---Logging off of STN---

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Executing the logoff script...

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	29.02	201.78

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.34	-2.34

STN INTERNATIONAL LOGOFF AT 14:57:34 ON 01 MAY 2007